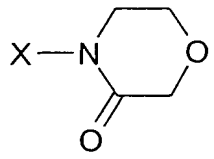
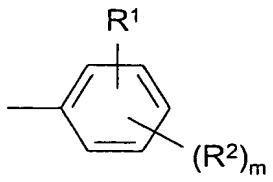
Patent Claims

1. Process for the preparation of compounds of the formula I



I

in which

X denotes  ,

R^1 denotes NO_2, CN, $COOR^3$, $CON(R^3)_2$, COR^3, SO_2R^4, $SO_2N(R^3)_2$, CF_3, F or Cl,

R^2 denotes H, Hal, A, OR^3, $N(R^3)_2$, NO_2, CN, $COOR^3$, $CON(R^3)_2$, NR^3COA, $NR^3CON(R^3)_2$, NR^3COOR^3, NR^3SO_2A, $-[C(R^5)_2]_n$-Ar, $-[C(R^5)_2]_n$-Het, $-[C(R^5)_2]_n$-cycloalkyl, COR^3, $SO_2N(R^3)_2$ or SO_2R^4,

R^3 denotes H, A, $-[C(R^5)_2]_n$-Ar or $-[C(R^5)_2]_n$-Het,

R^4 denotes A, $-[C(R^5)_2]_n$-Ar or $-[C(R^5)_2]_n$-Het,

R^5 denotes H or A',

Ar denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OR^5, $N(R^5)_2$, NO_2, CN, $COOR^5$, $CON(R^5)_2$, NR^5COA, NR^5SO_2A, COR^5, $SO_2N(R^5)_2$ or $S(O)_nA$,

Het denotes a mono- or bicyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms which is unsubstituted or mono- or disubstituted by Hal, A, OR^5, $N(R^5)_2$, NO_2, CN, $COOR^5$, $CON(R^5)_2$, NR^5COA, NR^5SO_2A, COR^5, $SO_2N(R^5)_2$, $S(O)_nA$ and/or carbonyl oxygen (=O),

A' denotes unbranched or branched alkyl having 1-6 C atoms,

A denotes unbranched, branched or cylic alkyl having 1-12 C atoms, in which one or two CH_2 groups may be replaced by O or S atoms and/or by -CH=CH- groups and/or in addition 1-7 H atoms may be replaced by F,

Hal denotes F, Cl, Br or I,

n denotes 0, 1 or 2,

m denotes 0, 1, 2, 3 or 4,

and salts thereof, characterised in that

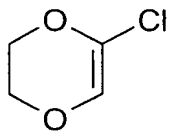
a) a compound of the formula II

$$X\text{-}NH_2 \qquad II$$

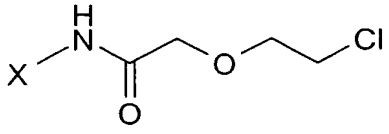
in which

X has the meaning indicated above,

is reacted with 5-chloro-2,3-dihydro-1,4-dioxin



to give a compound of the formula III



III

in which

X has the meaning indicated above,

b) then a compound of the formula III is cyclised to give a compound of the formula I,

and

c) the latter is optionally converted into its salt by converting a base or acid of the formula I into one of its salts.

2. Process according to Claim 1 for the preparation of compounds of the formula I in which

R^1 denotes NO_2, CN, $COOR^3$, COR^3 or Cl,

R^2 denotes H, Hal or A,

and salts thereof.

3. Process according to Claim 1 for the preparation of compounds of the formula I in which

R^1 denotes NO_2, CN, $COOR^3$, $CON(R^3)_2$, COR^3, SO_2R^4, $SO_2N(R^3)_2$, CF_3, F or Cl,

R^2 denotes H, Hal or A,

R^3 denotes H, A, $-[C(R^5)_2]_n$-Ar or $-[C(R^5)_2]_n$-Het,

and salts thereof.

4. Process according to Claim 1, 2 or 3 for the preparation of compounds of the formula I in which

Ar denotes phenyl,

and salts thereof.

5. Process according to one or more of Claims 1-4 for the preparation of compounds of the formula I in which

R^4 denotes A,

and salts thereof.

6. Process according to one or more of Claims 1-5 for the preparation of compounds of the formula I in which

R^1	denotes	NO_2, CN, $COOR^3$, $CON(R^3)_2$, COR^3, CF_3, F or Cl,
R^2	denotes	H, Hal or A',
R^3	denotes	H, A' or $-[C(R^5)_2]_n$-Ar,
Ar	denotes	phenyl,
R^5	denotes	H or A',
A'	denotes	unbranched or branched alkyl having 1-6 C atoms,
Hal	denotes	F, Cl, Br or I,
n	denotes	0, 1 or 2,

and salts thereof.

7. Process according to one or more of Claims 1-6 for the preparation of compounds of the formula I, in which the amine of the formula II has a pK_a value ≤ 3.

8. Process according to one or more of Claims 1-7, in which process steps a) and b) are carried out as a one-pot reaction.

9. Process according to one or more of Claims 1-8, in which process step a) is carried out at a temperature between 0 and 150°C.

10. Process according to Claim 9, in which process step a) is carried out at a temperature between 70 and 90°C.

11. Process according to one or more of Claims 1-10, in which the cyclisation is carried out in an inert solvent or solvent mixture, in the presence of an alkali or alkaline earth metal hydroxide, carbonate or bicarbonate.

12. Process according to one or more of Claims 1-11, in which the cyclisation is carried out in the presence of caesium carbonate or potassium carbonate.

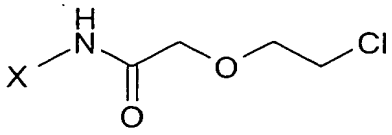
13. Process according to one or more of Claims 1-12, in which the process is carried out as a one-pot reaction in acetonitrile.

14. Process according to one or more of Claims 1-13 for the preparation of compounds selected from the group

4-(4-nitrophenyl)-3-oxomorpholine,
4-(3-nitrophenyl)-3-oxomorpholine,
4-(2-nitrophenyl)-3-oxomorpholine,
2-methyl-4-(4-nitrophenyl)-3-oxomorpholine,
4-(4-methoxycarbonylphenyl)-3-oxomorpholine,
4-(4-benzoylphenyl)-3-oxomorpholine,

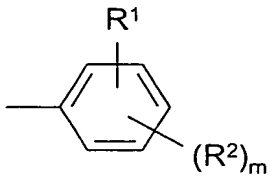
and salts thereof.

15. Intermediate compounds of the formula III



III

in which

X denotes  ,

R^1 denotes NO_2 or CN,

R^2 denotes H, Hal, A, OR^3, $N(R^3)_2$, NO_2, CN, $COOR^3$, $CON(R^3)_2$, NR^3COA, $NR^3CON(R^3)_2$, NR^3COOR^3, NR^3SO_2A, $-[C(R^5)_2]_n$-Ar, $-[C(R^5)_2]_n$-Het, $-[C(R^5)_2]_n$-cycloalkyl, COR^3, $SO_2N(R^3)_2$ or SO_2R^4,

R^3 denotes H, A, $-[C(R^5)_2]_n$-Ar or $-[C(R^5)_2]_n$-Het,

R^4 denotes A, $-[C(R^5)_2]_n$-Ar or $-[C(R^5)_2]_n$-Het,

R^5 denotes H or A',

Ar denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OR^5, $N(R^5)_2$, NO_2, CN, $COOR^5$, $CON(R^5)_2$, NR^5COA, NR^5SO_2A, COR^5, $SO_2N(R^5)_2$ or $S(O)_nA$,

Het denotes a mono- or bicyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms which is unsubstituted or mono- or disubstituted by Hal, A, OR^5, $N(R^5)_2$, NO_2, CN, $COOR^5$, $CON(R^5)_2$, NR^5COA, NR^5SO_2A, COR^5, $SO_2N(R^5)_2$, $S(O)_nA$ and/or carbonyl oxygen (=O),

A' denotes unbranched or branched alkyl having 1-6 C atoms,

A denotes unbranched, branched or cylic alkyl having 1-12 C atoms, in which one or two CH_2 groups may be replaced by O or S atoms and/or by -CH=CH- groups and/or in addition 1-7 H atoms may be replaced by F,

Hal denotes F, Cl, Br or I,

n denotes 0, 1 or 2,

m denotes 0, 1, 2, 3 or 4,

and salts thereof.

16. Intermediate compounds according to Claim 15 in which

R^1 denotes NO_2 or CN,

R^2 denotes H, Hal or A,

and salts thereof.

17. Intermediate compounds according to Claim 15, in which

R^1 denotes NO_2 or CN,

R^2 denotes H, Hal or A,

R^3 denotes H, A, $-[C(R^5)_2]_n$-Ar or $-[C(R^5)_2]_n$-Het,

and salts thereof.

18. Intermediate compounds according to Claim 15, 16 or 17 in which

Ar denotes phenyl,

and salts thereof.

19. Intermediate compounds according to one or more of Claims 15-18 in which

R^4 denotes A,

and salts thereof.

20. Intermediate compounds according to one or more of Claims 15-19 in which

R^1 denotes NO_2 or CN,

R^2 denotes H, Hal or A',

R^3 denotes H, A' or $-[C(R^5)_2]_n$-Ar,

Ar denotes phenyl,

R^5 denotes H or A',

A' denotes unbranched or branched alkyl having 1-6 C atoms,

Hal denotes F, Cl, Br or I,

n denotes 0, 1 or 2,

m denotes 0, 1 or 2,

and salts thereof.

21. Intermediate compounds according to Claim 20 in which

R^1 denotes NO_2,

R^2 denotes H, Hal or A',

R^3 denotes H, A' or $-[C(R^5)_2]_n$-Ar,

Ar denotes phenyl,

R^5 denotes H or A',

A' denotes unbranched or branched alkyl having 1-6 C atoms,

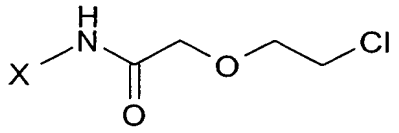
Hal denotes F, Cl, Br or I,

n denotes 0, 1 or 2,

m denotes 0, 1 or 2,

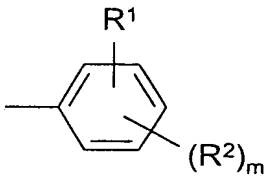
and salts thereof.

22. Process for the preparation of intermediate compounds of the formula III



III

in which

X denotes  ,

R^1 denotes NO_2, CN, $COOR^3$, $CON(R^3)_2$, COR^3, SO_2R^4, $SO_2N(R^3)_2$, CF_3, F or Cl,

R^2 denotes H, Hal, A, OR^3, $N(R^3)_2$, NO_2, CN, $COOR^3$, $CON(R^3)_2$, NR^3COA, $NR^3CON(R^3)_2$, NR^3COOR^3, NR^3SO_2A, $-[C(R^5)_2]_n$-Ar, $-[C(R^5)_2]_n$-Het, $-[C(R^5)_2]_n$-cycloalkyl, COR^3, $SO_2N(R^3)_2$ or SO_2R^4,

R^3 denotes H, A, $-[C(R^5)_2]_n$-Ar or $-[C(R^5)_2]_n$-Het,

R^4 denotes A, $-[C(R^5)_2]_n$-Ar or $-[C(R^5)_2]_n$-Het,

R^5 denotes H or A',

Ar denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OR^5, $N(R^5)_2$, NO_2, CN, $COOR^5$, $CON(R^5)_2$, NR^5COA, NR^5SO_2A, COR^5, $SO_2N(R^5)_2$ or $S(O)_nA$,

Het denotes a mono- or bicyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms which is unsubstituted or mono- or disubstituted by Hal, A, OR^5, $N(R^5)_2$, NO_2, CN, $COOR^5$, $CON(R^5)_2$, NR^5COA, NR^5SO_2A, COR^5, $SO_2N(R^5)_2$, $S(O)_nA$ and/or carbonyl oxygen (=O),

A' denotes unbranched or branched alkyl having 1-6 C atoms,

A denotes unbranched, branched or cylic alkyl having 1-12 C atoms, in which one or two CH_2 groups may be replaced by O or S atoms and/or by -CH=CH- groups and/or in addition 1-7 H atoms may be replaced by F,

Hal denotes F, Cl, Br or I,

n denotes 0, 1 or 2,

m denotes 0, 1, 2, 3 or 4,

and salts thereof, characterised in that

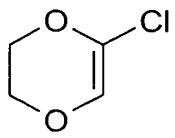
a) a compound of the formula II

$$X\text{-}NH_2 \qquad II$$

in which

X has the meaning indicated above,

is reacted with 5-chloro-2,3-dihydro-1,4-dioxin



and

the compound of the formula III is optionally converted into its salt.

23. Process according to Claim 22 for the preparation of intermediate compounds of the formula III

in which

R^1 denotes NO_2 or CN,

R^2 denotes H, Hal, A, OR^3, $N(R^3)_2$, NO_2, CN, $COOR^3$, $CON(R^3)_2$, NR^3COA, $NR^3CON(R^3)_2$, NR^3COOR^3, NR^3SO_2A, $-[C(R^5)_2]_n$-Ar, $-[C(R^5)_2]_n$-Het, $-[C(R^5)_2]_n$-cycloalkyl, COR^3, $SO_2N(R^3)_2$ or SO_2R^4,

R^3 denotes H, A, $-[C(R^5)_2]_n$-Ar or $-[C(R^5)_2]_n$-Het,

R^4 denotes A, $-[C(R^5)_2]_n$-Ar or $-[C(R^5)_2]_n$-Het,

R^5 denotes H or A',

Ar denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OR^5, $N(R^5)_2$, NO_2, CN, $COOR^5$, $CON(R^5)_2$, NR^5COA, NR^5SO_2A, COR^5, $SO_2N(R^5)_2$ or $S(O)_nA$,

Het denotes a mono- or bicyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms which is unsubstituted or mono- or disubstituted by Hal, A, OR^5, $N(R^5)_2$, NO_2, CN, $COOR^5$, $CON(R^5)_2$, NR^5COA, NR^5SO_2A, COR^5, $SO_2N(R^5)_2$, $S(O)_nA$ and/or carbonyl oxygen (=O),

A' denotes unbranched or branched alkyl having 1-6 C atoms,

A denotes unbranched, branched or cylic alkyl having 1-12 C atoms, in which one or two CH_2 groups may be replaced by O or S atoms and/or by -CH=CH- groups and/or in addition 1-7 H atoms may be replaced by F,

Hal denotes F, Cl, Br or I,

n denotes 0, 1 or 2,

m denotes 0, 1, 2, 3 or 4.

24. Process according to Claim 23 for the preparation of intermediate compounds of the formula III

in which

R^1 denotes NO_2 or CN,

R^2 denotes H, Hal or A.

25. Process according to Claim 23 for the preparation of intermediate compounds of the formula III

in which

R^1	denotes NO_2 or CN,
R^2	denotes H, Hal or A,
R^3	denotes H, A, $-[C(R^5)_2]_n$-Ar or $-[C(R^5)_2]_n$-Het.

26. Process according to Claim 23 for the preparation of intermediate compounds of the formula III

in which

Ar denotes phenyl.

27. Process according to Claim 23 for the preparation of intermediate compounds of the formula III

in which

R^4 denotes A.

28. Process according to Claim 23 for the preparation of intermediate compounds of the formula III

in which

R^1	denotes NO_2 or CN,
R^2	denotes H, Hal or A',
R^3	denotes H, A' or $-[C(R^5)_2]_n$-Ar,
Ar	denotes phenyl,
R^5	denotes H or A',
A'	denotes unbranched or branched alkyl having 1-6 C atoms,
Hal	denotes F, Cl, Br or I,
n	denotes 0, 1 or 2,
m	denotes 0, 1 or 2.